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Title of diploma thesis: Synthesis of substituted arylguanidines as potential drugs X.

The increasing frequency of diseases caused by tuberculosis and pathogenic fungi leads to high mortality especially of immunocompromised patients. Therefore it is necessary to find new substances with anti-mycobacterial and antifungal activity.

Series of on the ring substituted phenylguanidines were synthesized in this diploma thesis, specifically [5-methyl-(2-tetradecylsulfanyl)phenyl]guanidines with the change of substituents on guanidine group and 1,1-dimethyl-3-[4-(pentadecylsulfanyl)phenyl]guanidine. The oxidation of [(4-oktylsulfanyl)fenyl]guanidinium-nitrate was done. Substances were tested against series of pathogenic fungi and genus *Mycobacterium*.

Products were synthesized in the four-step synthesis. Alkylarylsulfides were prepared by the reaction between alkylthiols and 4-chloro-3-nitrotoluene or p-chloronitrobenzene with active copper as a catalyst in the first step. The nitro group on the ring was reduced to amino group by the reaction with stannous chloride under nitrogen atmosphere in the second step. Sulfanylphenylamines were then transferred by the reaction with gaseous hydrogen chloride to ammonium chlorides. Phenylguanidines were prepared by the reaction of these salts with cyanamide or dialkylcyanamides in the last step.

The substance 1,1-dimethyl-3-[5-methyl-2-(tetradecylsulfanyl)fenyl]guanidine has significant antifungal activity. Its activity against some strains of pathogenic fungi was higher than the activity of ketoconazole and it is also antimycobacterial active against isoniazide resistant strains.